

REMARKS

The title has been amended to read “PROCESS FOR THE PREPARATION OF 2-AMINO-[1,2,4]TRIAZOLO[1,5-a]PYRIMIDINES.”

Claims 1-3 and 5-6 have been amended. Claims 4 and 7-8 have been cancelled. A new claim 9 has been added. Claims 1-3, 5-6 and 9 are now in this case.

The title has been replaced to more clearly indicate the invention.

Claim 1 has been amended by incorporating the subject matter of Claim 4, i.e., the use of a carboxylic acid ester as the polar aprotic solvent, and by incorporating stylistic changes to the translation to make the language more colloquial. Support for this amendment is found in Claim 4 as originally filed and at [0035]-[0039] of the published application (U.S. 2007/0238873 A1).

Claims 4, 7 and 8 have been cancelled.

Claim 2 has been amended to correct the punctuation replacing the comma in 7,5 with a period (7.5).

Claims 3, 5 and 6 have been rewritten to remove multiple dependencies.

Claim 9 has been added to specifically claim the embodiment of the invention described in [0052]-[0053] of the published application (U.S. 2007/0238873 A1).

Claim 2 has been objected to for improper punctuation. With the amendment of Claim 2, this inaccuracy has been corrected.

Claims 5-6 have been objected to for being of improper form. With the amendment of Claims 3, 5 and 6 to remove multiple dependencies, the objection to the form of Claims 5 and 6 is now moot.

Claims 1-3, and presumably Claims 5-6 and 9 which ultimately depend on Claim 1, have been rejected under 35 U.S.C. §103(a) as being unpatentable over U.S. 2002/0111361 A1 (Johnson *et al.*). The present invention claims a process for the preparation of 2-amino-[1,2,4]triazolopyrimidines by first combining a 2-amino-pyrimidine with an alkylloxycarbonyl isothiocyanate or an aryloxycarbonyl isothiocyanate and then with a hydroxyl ammonium salt and a base. Both steps are conducted in a carboxylic acid ester solvent at the temperature range of from 40 to 150 °C. Preferably, the process is conducted without isolation of the intermediate.

As pointed out by the examiner, Johnson *et al.*, in preparations 1 and 2, disclose the preparation of 2-amino-5,7-dimethoxy[1,2,4]triazolopyrimidine in 2 distinct steps by reacting 2-amino-4,6-dimethoxypyrimidine with ethoxycarbonyl isothiocyanate to form a carbamate intermediate followed by reacting the carbamate intermediate with hydroxylamine hydrochloride and a base to form 2-amino-5,7-dimethoxy[1,2,4]triazolopyrimidine.

The Examiner has acknowledged differences between Johnson *et al.* and the present invention with respect to the temperature of the reaction and the pH of the second step. While Johnson *et al.* teach heating during the first step of the reaction, it is recommended that the second step be carried out at ambient temperature [0033]. In fact, in the examples, both steps are performed at ambient temperature [0051]-[0052] as opposed to the 40 to 150 °C of the present invention. With respect to the pH requirement of Claim 2, Johnson *et al.* is silent.

The first step of Johnson *et al.* is conducted in tetrahydrofuran, a polar aprotic solvent, and the second step in ethanol, a polar protic solvent. Neither tetrahydrofuran nor ethanol is a carboxylic acid ester solvent as required in the present invention. In fact, Johnson *et al.* only teach the use of an inert organic solvent for the first step and the use of a solvent such as ethanol for the second step. No mention is made of a carboxylic acid ester solvent [0032]-[0033].

With the amendment of the claims limiting the solvent to a carboxylic acid ester, the claims are no longer rendered obvious by Johnson *et al.* Even if Johnson

et al. established a *prima facie* case of obviousness, the present invention provides unexpected advantages over the disclosure of Johnson *et al.*, who report a yield of 87% for the first step ([0051] of Johnson *et al.*) and 82% for the second step ([0052] of Johnson *et al.*), for a combined yield of 71%. In the present invention, by using ethyl acetate as the solvent and conducting the reactions in one pot at a temperature range of 70-80 °C while controlling the pH of the second step between 6.5-7.0, the overall yield is improved to 91%. Thus the present invention meets the requirements of 35 U.S.C. §103(a).

Claims 1-3 have been rejected on the ground of non-statutory obviousness-type double patenting as being unpatentable over claims 1-31 of U.S. 6,559,101, which corresponds to the granted version of Johnson *et al.* discussed in the 35 U.S.C. §103(a) rejection addressed above. As pointed out previously, the present invention is patentably distinct over Johnson *et al.* and the obviousness-type double patenting rejection is similarly overcome.

In view of the above amendments and remarks, the application and claims should now be in condition for allowance.

Reconsideration of the application and its early allowance are respectfully requested.

Respectfully submitted,

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